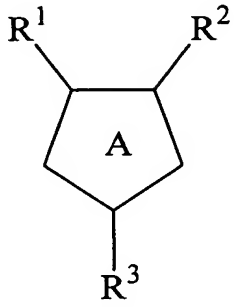
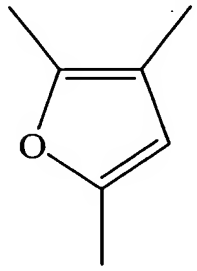
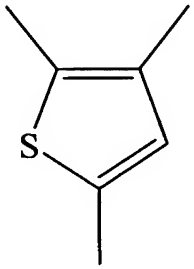
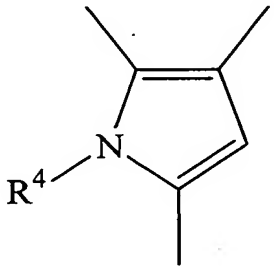
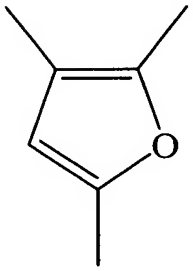
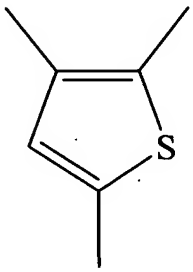
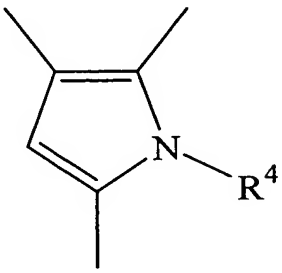
Claims:

1. A large conductance calcium-activated K channel opener comprising a 5-membered heterocyclic compound of the formula (I):



(I)

wherein ring A is a ring represented by any one of the formulae:

R^1 is a substituted or unsubstituted aryl, a substituted or unsubstituted heterocycle or a substituted or unsubstituted heterocycle-substituted carbonyl;
R^2 is hydrogen, a halogen, carboxy, a substituted or unsubstituted amino, a substituted or unsubstituted alkyl, an alkoxycarbonyl, a substituted or unsubstituted alkenyl or a cycloalkyl;
R^3 is a substituted or unsubstituted aryl, a substi-

tuted or unsubstituted heterocycle or a substituted or unsubstituted alkyl; and

R^4 is hydrogen or a substituted or unsubstituted alkyl;

or a pharmaceutically acceptable salt thereof as an active ingredient.

2. The large conductance calcium-activated K channel opener according to Claim 1,

wherein R^1 is (1) an aryl which may be substituted by a substituent(s) selected from the group consisting of nitro, amino, hydroxy, carbamoyl, cyano, carboxy, trifluoromethyl, alkoxycarbonyl, halogen, alkyl, hydroxyalkyl, alkoxy, alkoxyalkoxy, mono- or di-alkylamino, mono- or di-alkanoylamino, alkylthio, alkylsulfonyl, alkylsulfinyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkylsulfonylamino and phenylalkoxy, (2) a heterocycle which may be substituted by a substituent(s) selected from the group consisting of nitro, hydroxy, formyl, carbamoyl, cyano, amino, carboxy, alkoxycarbonyl, halogen, alkyl, hydroxyalkyl, alkoxy, mono- or di-alkylamino, mono- or di-alkanoylamino, alkylthio, alkylsulfonyl, alkylsulfinyl, sulfamoyl and mono- or di-alkylsulfamoyl, or (3) a heterocycle-substituted carbonyl which may be substituted by a substituent(s) selected from the group consisting of nitro, hydroxy, carbamoyl, cyano, carboxy, alkoxycarbonyl, halogen, alkyl, hydroxyalkyl, alkoxy, alkanoyl, mono- or di-alkylamino, mono- or di-alkanoylamino, alkylthio, alkylsulfonyl, alkylsulfinyl, sulfamoyl and mono- or di-alkylsulfamoyl;

R^2 is (1) hydrogen, (2) halogen, (3) carboxy, (4) amino which may be substituted by a substituent(s) selected from the group consisting of formyl, alkyl, alkanoyl, alkylsulfonyl and alkoxycarbonyl, (5) an alkyl which may be substituted by a substituent(s) selected from the group consisting of halogen, hydroxy, cyano, carboxy, carbamoyl,

amino, aminosulfonyl, amidinothio, mono- or di-alkylamino, alkanoylamino, alkylsulfonylamino, hydroxyamino, mono- or di-alkylcarbamoyl, trifluoromethyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonylamino, hydroxycarbamoyl, hydroxycarbamoyl which is substituted by one or two alkyl(s), alkylsulfonylcarbamoyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkoxycarbonyl, heterocycle, heterocycle-substituted carbamoyl, heterocycle-substituted alkylcarbamoyl and heterocycle-substituted sulfonylcarbamoyl, (6) alkoxycarbonyl, (7) alkenyl which may be substituted by carboxy or alkoxycarbonyl or (8) cycloalkyl;

R^3 is (1) an aryl which may be substituted by a substituent(s) selected from the group consisting of cyano, nitro, amino, halogen, trifluoromethyl, carboxy, hydroxy, carbamoyl, mono- or di-alkylamino, aminoalkyl, mono- or di-alkylaminoalkyl, mono- or di-alkylcarbamoyl, alkyl, hydroxyalkyl, alkoxy, alkoxycarbonyl, alkanoyl, alkanoyloxy, alkanoyloxyalky, sulfo, alkylthio, alkylthioalkyl, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl and alkylsulfinyl, (2) a heterocycle which may be substituted by a substituent(s) selected from the group consisting of oxo, cyano, nitro, amino, halogen, carboxy, hydroxy, formyl, carbamoyl, mono- or di-alkylamino, N-alkyl-N-cycloalkylamino, aminoalkyl, mono- or di-alkylaminoalkyl, mono- or di-alkylcarbamoyl, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkanoyl, sulfo, alkylthio, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkylsulfinyl and heterocycle or (3) an alkyl which may be substituted by a substituent(s) selected from the group consisting of hydroxy, cyano, carboxy, carbamoyl, amino, mono- or di-alkylamino, alkanoylamino, alkylsulfonylamino, hydroxyamino, mono- or di-alkylcarbamoyl, trifluoromethyl, halogen, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkoxycarbonyl and heterocycle; and

R^4 is (1) hydrogen or (2) an alkyl which may be

substituted by mono- or di-alkylamino.

3. The large conductance calcium-activated K channel opener according to Claim 1 or 2,

wherein R^1 is a substituted or unsubstituted aryl or a substituted or unsubstituted heterocycle;

R^2 is carboxy, a substituted or unsubstituted amino, a substituted or unsubstituted alkyl, alkoxycarbonyl or a substituted or unsubstituted alkenyl; and

R^3 is a substituted or unsubstituted aryl or a substituted or unsubstituted heterocycle.

4. The large conductance calcium-activated K channel opener according to Claim 1,

wherein R^1 is (1) aryl which may be substituted by one or two halogen(s) or (2) a heterocycle which may be substituted by halogen or alkyl;

R^2 is alkyl which may be substituted by a substituent(s) selected from the group consisting of carboxy, carbamoyl, mono- or di-alkylcarbamoyl, hydroxycarbamoyl, hydroxycarbamoyl which is substituted by one or two alkyl(s), alkoxycarbonyl, alkylsulfonylcarbamoyl and heterocycle;

R^3 is (1) a heterocycle which may be substituted by one or two substituent(s) selected from the group consisting of amino, halogen, alkyl, alkoxy, mono- or di-alkylamino and alkylthio or (2) aryl which may be substituted by a substituent(s) selected from the group consisting of amino, halogen, alkyl, alkylthio, alkoxy and mono- or di-alkylamino; and

R^4 is hydrogen or alkyl.

5. The large conductance calcium-activated K channel opener according to Claim 1,

wherein R^1 is (1) aryl which may be substituted by one or two halogen(s), (2) thienyl which may be substituted

by halogen or (3) pyridyl which may be substituted by alkyl;

R^2 is (1) carboxyalkyl, (2) carbamoylalkyl, (3) mono- or di-alkylcarbamoylalkyl, (4) alkoxycarbonylalkyl, (5) alkylsulfonylcarbamoylalkyl, or (6) tetrazolylalkyl;

R^3 is (1) benzothienyl which may be substituted by halogen, (2) phenyl which may be substituted by a substituent(s) selected from the group consisting of halogen, alkylthio, alkyl, alkoxy and dialkylamino, (3) pyridyl which may be substituted by a substituent(s) selected from the group consisting of alkyl, alkoxy and dialkylamino, (4) pyrimidinyl which may be substituted by alkoxy, alkyl, dialkylamino or alkylthio, (5) thienyl which may be substituted by one or two alkyl(s), (6) thieno[3,2-b]pyridyl, (7) benzofuryl, (8) dihydrobenzofuryl or (9) indolyl which may be substituted by alkyl; and

R^4 is hydrogen or alkyl.

6. The large conductance calcium-activated K channel opener according to Claim 1,

wherein R^1 is (1) aryl which may be substituted by one or two halogen(s) or (2) thienyl which may be substituted by halogen;

R^2 is (1) carboxyalkyl, (2) carbamoylalkyl, (3) mono- or di-alkylcarbamoylalkyl, or (4) alkoxycarbonylalkyl,

R^3 is (1) benzothienyl which may be substituted by halogen, (2) phenyl which may be substituted by a substituent(s) selected from the group consisting of halogen, alkylthio, alkyl, alkoxy and dialkylamino, (3) pyridyl which may be substituted by a substituent(s) selected from the group consisting of alkyl, alkoxy and dialkylamino, (4) pyrimidinyl which may be substituted by alkoxy or dialkylamino, (5) thienyl which may be substituted by one or two alkyl(s), (6) thieno[3,2-b]pyridyl, (7) benzofuryl, (8) dihydrobenzofuryl or (9) indolyl which may be substituted by alkyl; and

R^4 is hydrogen or alkyl.

7. The large conductance calcium-activated K channel opener according to Claim 1,

wherein R^1 is (1) aryl which may be substituted by one or two halogen(s) or (2) thienyl which may be substituted by halogen;

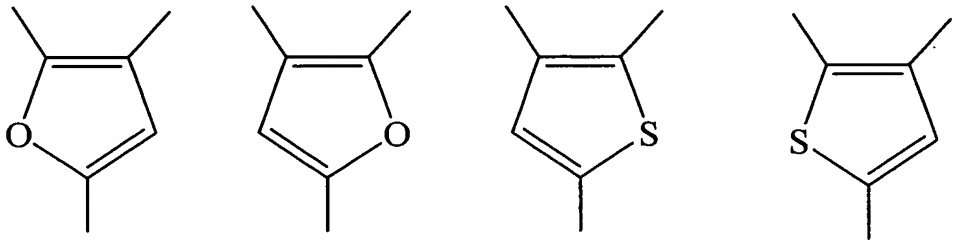
R^2 is (1) carboxyalkyl or (2) alkoxycarbonylalkyl;

R^3 is (1) benzothienyl which may be substituted by halogen, (2) phenyl which may be substituted by a substituent(s) selected from the group consisting of halogen, alkylthio, alkoxy and dialkylamino, (3) pyridyl which may be substituted by alkoxy or dialkylamino, (4) pyrimidinyl which may be substituted by dialkylamino, (5) thienyl which may be substituted by one or two alkyl(s), (6) thieno[3,2-b]pyridyl or (7) indolyl which may be substituted by alkyl; and

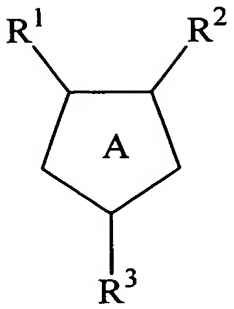
R^4 is hydrogen or alkyl.

8. The large conductance calcium-activated K channel opener according to any one of Claims 1 to 6, wherein R^2 is carboxymethyl or alkoxycarbonylmethyl.

9. The large conductance calcium-activated K channel opener according to any one of Claims 1 to 8, wherein the Ring A is a ring represented by either one of the formulae:

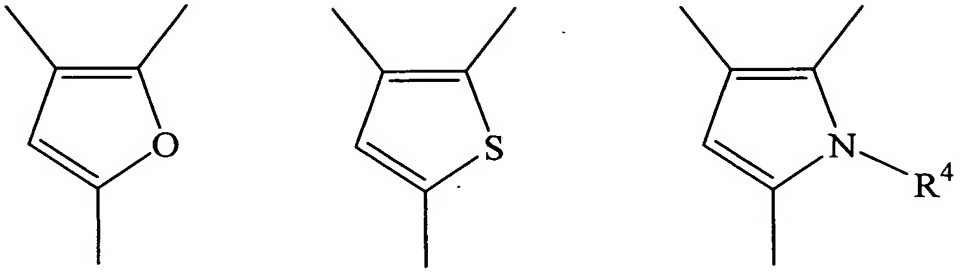


10. A 5-membered heterocyclic compound of the formula (II):



(II)

wherein ring A is a ring represented by any one of the formulae:



R^1 is a substituted or unsubstituted aryl, a substituted or unsubstituted heterocycle or a substituted or unsubstituted heterocycle-substituted carbonyl;

R^2 is a substituted alkyl;

R^3 is a substituted or unsubstituted aryl, a substituted or unsubstituted heterocycle or a substituted or unsubstituted alkyl; and

R^4 is hydrogen or a substituted or unsubstituted alkyl;

provided that when R^1 and R^3 are phenyl, R^2 is not carboxymethyl or ethoxycarbonylmethyl,

or a pharmaceutically acceptable salt thereof.

11. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to Claim 10, wherein R^1 is a substituted or unsubstituted heterocycle, a substituted or unsubstituted heterocycle-substituted carbonyl, or an aryl substituted by two halogens.

12. The 5-membered heterocyclic compound or a pharmaceuti-

cally acceptable salt thereof according to Claim 10 or 11,

wherein R^1 is (1) an aryl which may be substituted by a substituent(s) selected from the group consisting of nitro, amino, hydroxy, carbamoyl, cyano, carboxy, trifluoromethyl, alkoxycarbonyl, halogen, alkyl, hydroxyalkyl, alkoxy, alkoxyalkoxy, mono- or di-alkylamino, mono- or di-alkanoylamino, alkylthio, alkylsulfonyl, alkylsulfinyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkylsulfonylamino and phenylalkoxy, (2) a heterocycle which may be substituted by a substituent(s) selected from the group consisting of nitro, hydroxy, formyl, carbamoyl, cyano, amino, carboxy, alkoxycarbonyl, halogen, alkyl, hydroxyalkyl, alkoxy, mono- or di-alkylamino, mono- or di-alkanoylamino, alkylthio, alkylsulfonyl, alkylsulfinyl, sulfamoyl and mono- or di-alkylsulfamoyl, or (3) a heterocycle-substituted carbonyl which may be substituted by a substituent(s) selected from the group consisting of nitro, hydroxy, carbamoyl, cyano, carboxy, alkoxycarbonyl, halogen, alkyl, hydroxyalkyl, alkoxy, alkanoyl, mono- or di-alkylamino, mono- or di-alkanoylamino, alkylthio, alkylsulfonyl, alkylsulfinyl, sulfamoyl and mono- or di-alkylsulfamoyl;

R^2 is an alkyl which may be substituted by a substituent(s) selected from the group consisting of halogen, hydroxy, cyano, carboxy, carbamoyl, amino, aminosulfonyl, amidinothio, mono- or di-alkylamino, alkanoylamino, alkylsulfonylamino, hydroxyamino, mono- or di-alkylcarbamoyl, trifluoromethyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonylamino, hydroxycarbamoyl, hydroxycarbamoyl which is substituted by one or two alkyl(s), alkylsulfonylcarbamoyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkoxycarbonyl, heterocycle, heterocycle-substituted carbamoyl, heterocycle-substituted alkylcarbamoyl and heterocycle-substituted sulfonylcarbamoyl;

R^3 is (1) an aryl which may be substituted by a substituent(s) selected from the group consisting of cyano, nitro, amino, halogen, trifluoromethyl, carboxy, hydroxy,

carbamoyl, mono- or di-alkylamino, aminoalkyl, mono- or di-alkylaminoalkyl, mono- or di-alkylcarbamoyl, alkyl, hydroxyalkyl, alkoxy, alkoxycarbonyl, alkanoyl, alkanoyloxy, alkanoyloxyalkyl, sulfo, alkylthio, alkylthioalkyl, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl and alkylsulfinyl, (2) a heterocycle which may be substituted by a substituent(s) selected from the group consisting of oxo, cyano, nitro, amino, halogen, carboxy, hydroxy, formyl, carbamoyl, mono- or di-alkylamino, N-alkyl-N-cycloalkylamino, aminoalkyl, mono- or di-alkylaminoalkyl, mono- or di-alkylcarbamoyl, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkanoyl, sulfo, alkylthio, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkylsulfinyl and heterocycle or (3) an alkyl which may be substituted by a substituent(s) selected from the group consisting of hydroxy, cyano, carboxy, carbamoyl, amino, mono- or di-alkylamino, alkanoylamino, alkylsulfonylamino, hydroxyamino, mono- or di-alkylcarbamoyl, trifluoromethyl, halogen, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkoxycarbonyl and heterocycle; and

R^4 is (1) hydrogen or (2) an alkyl which may be substituted by mono- or di-alkylamino.

13. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to Claim 10 or 11,

wherein R^1 is (1) an aryl which may be substituted by one or two halogen(s), or (2) a heterocycle which may be substituted by halogen or alkyl;

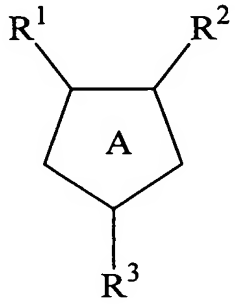
R^2 is an alkyl which may be substituted by a substituent(s) selected from the group consisting of carboxy, carbamoyl, mono- or di-alkylcarbamoyl, hydroxycarbamoyl, hydroxycarbamoyl which is substituted by one or two alkyl(s), alkoxycarbonyl, alkylsulfonylcarbamoyl and heterocycle; and

R^3 is (1) a heterocycle which may be substituted by

one or two substituent(s) selected from the group consisting of amino, halogen, alkyl, alkoxy, mono- or di-alkylamino and alkylthio, or (2) an aryl which may be substituted by a substituent(s) selected from the group consisting of amino, halogen, alkyl, alkylthio, alkoxy and mono- or di-alkylamino; and

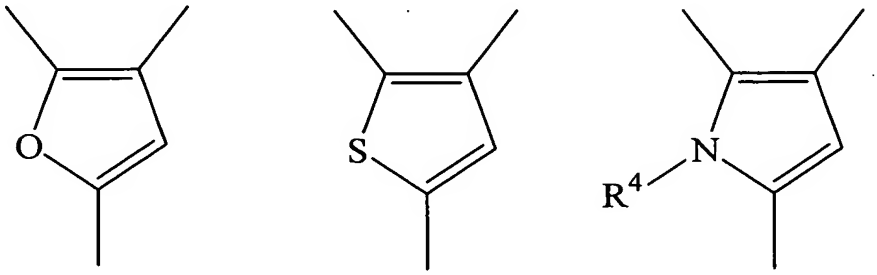
R^4 is hydrogen or alkyl.

14. A 5-membered heterocyclic compound of the formula (III):



(III)

wherein ring A is a ring represented by any one of the formulae:



R^1 is a substituted or unsubstituted thienyl, or an aryl substituted by two halogens;

R^2 is substituted alkyl;

R^3 is a substituted or unsubstituted aryl, a substituted or unsubstituted heterocycle or a substituted or unsubstituted alkyl; and

R^4 is hydrogen or a substituted or unsubstituted alkyl;

provided that when R^1 is 2-thienyl, R^3 is not 2-thienyl;

or a pharmaceutically acceptable salt thereof.

15. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to Claim 14,

wherein R^2 is an alkyl which may be substituted by a substituent(s) selected from the group consisting of halogen, hydroxy, cyano, carboxy, carbamoyl, amino, aminosulfonyl, amidinothio, mono- or di-alkylamino, alkanoylamino, alkylsulfonylamino, hydroxyamino, mono- or di-alkylcarbamoyl, trifluoromethyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonylamino, hydroxycarbamoyl, hydroxycarbamoyl which is substituted by one or two alkyl(s), alkylsulfonylcarbamoyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkoxycarbonyl, heterocycle, heterocycle-substituted carbamoyl, heterocycle-substituted alkylcarbamoyl and heterocycle-substituted sulfonylcarbamoyl;

R^3 is (1) an aryl which may be substituted by a substituent(s) selected from the group consisting of cyano, nitro, amino, halogen, trifluoromethyl, carboxy, hydroxy, carbamoyl, mono- or di-alkylamino, aminoalkyl, mono- or di-alkylaminoalkyl, mono- or di-alkylcarbamoyl, alkyl, hydroxyalkyl, alkoxy, alkoxycarbonyl, alkanoyl, alkanoyloxy, alkanoyloxyalky, sulfo, alkylthio, alkylthioalkyl, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl and alkylsulfinyl, (2) a heterocycle which may be substituted by a substituent(s) selected from the group consisting of oxo, cyano, nitro, amino, halogen, carboxy, hydroxy, formyl, carbamoyl, mono- or di-alkylamino, N-alkyl-N-cycloalkylamino, aminoalkyl, mono- or di-alkylaminoalkyl, mono- or di-alkylcarbamoyl, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkanoyl, sulfo, alkylthio, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkylsulfinyl and heterocycle or (3) an alkyl which may be substituted by a substituent(s) selected from the group consisting of hydroxy, cyano, carboxy, carbamoyl, amino,

mono- or di-alkylamino, alkanoylamino, alkylsulfonylamino, hydroxyamino, mono- or di-alkylcarbamoyl, trifluoromethyl, halogen, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, sulfamoyl, mono- or di-alkylsulfamoyl, alkoxycarbonyl and heterocycle; and

R^4 is (1) hydrogen or (2) an alkyl which may be substituted by mono- or di-alkylamino.

16. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to Claim 14,

wherein R^2 is an alkyl which may be substituted by a substituent(s) selected from the group consisting of carboxy, carbamoyl, mono- or di-alkylcarbamoyl, hydroxycarbamoyl, hydroxycarbamoyl which is substituted by one or two alkyl(s), alkoxycarbonyl, alkylsulfonylcarbamoyl and heterocycle;

R^3 is (1) a heterocycle which may be substituted by one or two substituent(s) selected from the group consisting of amino, halogen, alkyl, alkoxy, mono- or di-alkylamino and alkylthio, or (2) an aryl which may be substituted by a substituent(s) selected from the group consisting of amino, halogen, alkyl, alkylthio, alkoxy and mono- or di-alkylamino; and

R^4 is hydrogen or alkyl.

17. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to Claim 10 or 14,

wherein R^1 is thienyl which may be substituted by halogen(s);

R^2 is (1) carboxyalkyl, (2) carbamoylalkyl, (3) mono- or di-alkylcarbamoylalkyl, (4) alkoxycarbonylalkyl, (5) alkylsulfonylcarbamoylalkyl or (6) tetrazolylalkyl;

R^3 is (1) benzothienyl which may be substituted by halogen, (2) phenyl which may be substituted by a substituent(s) selected from the group consisting of halogen,

alkylthio, alkyl, alkoxy and dialkylamino, (3) pyridyl which may be substituted by a substituent(s) selected from the group consisting of alkyl, alkoxy and dialkylamino, (4) pyrimidinyl which may be substituted by alkoxy, alkyl, dialkylamino or alkylthio, (5) thienyl which may be substituted by one or two alkyl(s), (6) thieno[3,2-b]pyridyl, (7) benzofuryl, (8) dihydrobenzofuryl or (9) indolyl which may be substituted by alkyl; and

R^4 is hydrogen or alkyl.

18. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to Claim 17,

wherein R^2 is (1) carboxyalkyl, (2) carbamoylalkyl, (3) mono- or di-alkylcarbamoylalkyl or (4) alkoxycarbonylalkyl; and

R^3 is (1) benzothienyl which may be substituted by halogen, (2) phenyl which may be substituted by a substituent(s) selected from the group consisting of halogen, alkylthio, alkyl, alkoxy and dialkylamino, (3) pyridyl which may be substituted by a substituent(s) selected from the group consisting of alkyl, alkoxy and dialkylamino, (4) pyrimidinyl which may be substituted by alkoxy or dialkylamino, (5) thienyl which may be substituted by one or two alkyl(s), (6) thieno[3,2-b]pyridyl, (7) benzofuryl, (8) dihydrobenzofuryl or (9) indolyl which may be substituted by alkyl.

19. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to Claim 17,

wherein R^2 is carboxyalkyl or alkoxycarbonylalkyl; and

R^3 is (1) benzothienyl which may be substituted by halogen, (2) phenyl which may be substituted by a substituent(s) selected from the group consisting of halogen, alkylthio, alkoxy and dialkylamino, (3) pyridyl which may be substituted by a substituent(s) selected from the group

consisting of alkyl, alkoxy and dialkylamino, (4) pyrimidinyl which may be substituted by dialkylamino, (5) thienyl which may be substituted by one or two alkyl(s), (6) thieno[3,2-b]pyridyl or (7) indolyl which may be substituted by alkyl.

20. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to any one of Claims 17 to 19, wherein R^2 is carboxymethyl or alkoxycarbonylmethyl.

21. The 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to any one of Claims 17 to 20, wherein ring A is furan or thiophen.

22. A compound selected from the group consisting of the compounds described in the examples and preferable examples in the specification, or a pharmaceutically acceptable salt thereof.

23. A medicine comprising the 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to any one of Claims 10 to 22.

24. A large conductance calcium-activated K channel opener comprising the 5-membered heterocyclic compound or a pharmaceutically acceptable salt thereof according to any one of Claims 10 to 22 as an active ingredient.

25. A large conductance calcium-activated K channel opener according to any one of Claims 1 to 9 and 24, which is for the prophylaxis and/or treatment of pollakiuria or urinary incontinence.